

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
1 September 2005 (01.09.2005)

PCT

(10) International Publication Number
WO 2005/080317 A2

(51) International Patent Classification⁷: **C07C 235/00**

(21) International Application Number:
PCT/IB2005/000258

(22) International Filing Date: 1 February 2005 (01.02.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/544,258 11 February 2004 (11.02.2004) US

(71) Applicant (for JP only): **PFIZER JAPAN, INC.** [JP/JP];
Shinjuku Bunka Quint Bldg., 3-22-7, Yoyogi, Shibuya-ku,
Tokyo 151-8589 (JP).

(71) Applicant (for all designated States except JP, US):
PFIZER INC. [US/US]; 235 East 42nd Street, New York,
NY 10017 (US).

(72) Inventors; and

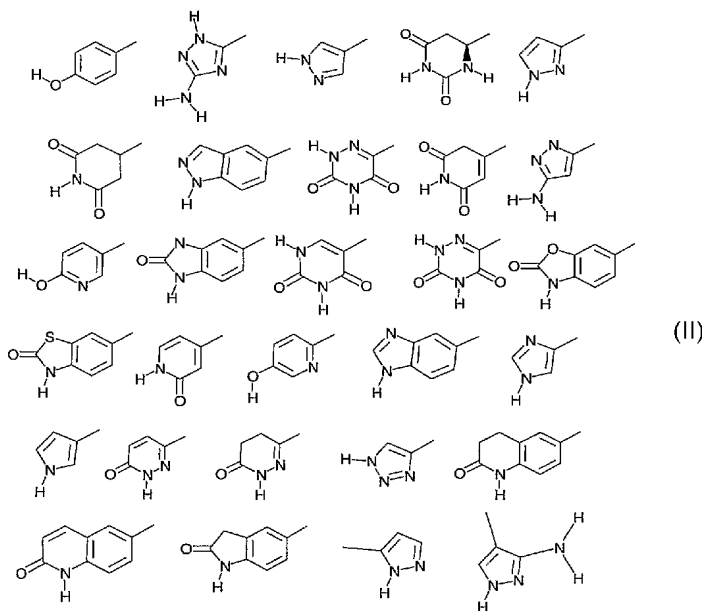
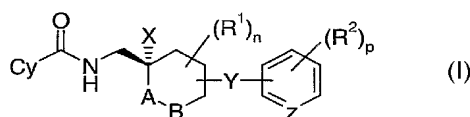
(75) Inventors/Applicants (for US only): **KAWAI, Makoto** [JP/JP]; Pfizer Global Research & Development, Nagoya, Pfizer Japan Inc., 2 Aza 5-gochi, Taketoyo-cho, Chita-gun, Aichi-ken 470-2393 (JP). **KAWAMURA, Mitsuhiro** [JP/JP]; Pfizer Global Research & Development, Nagoya, Pfizer Japan Inc., 2 Aza 5-gochi, Taketoyo-cho, Chita-gun, Aichi-ken 470-2393 (JP). **SAKURADA, Isao** [JP/JP]; Pfizer Global Research & Development, Nagoya, Pfizer Japan Inc., 2 Aza 5-gochi, Taketoyo-cho, Chita-gun, Aichi-ken 470-2393 (JP). **MORITA, Asato** [JP/JP]; Pfizer Global Research & Development, Nagoya, Pfizer Japan Inc., 2 Aza 5-gochi, Taketoyo-cho, Chita-gun, Aichi-ken 470-2393 (JP).

(74) Agents: **FULLER, Grover, F., Jr.** et al.; Pfizer Inc., 201 Tabor Road, NJ, Morris Plains, 07950 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,

[Continued on next page]

(54) Title: THERAPEUTIC AMIDE DERIVATIVES



(57) Abstract: The present invention relates to compounds of the formula (I): or a pharmaceutically acceptable salt or solvate thereof, wherein: A and B independently represent CH₂ or O, with the proviso that A and B are not simultaneously O; Cy represents one of the following Formula (II) optionally substituted by one to three groups selected from hydroxy, halogen, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆haloalkyl, C₁₋₆alkylamino and amino; R¹ and R² are independently selected from hydroxy, halogen, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆ haloalkyl and C₃₋₈ cycloalkyl; n represents an integer from 0-4; X is hydrogen, hydroxy, halogen or C₁₋₆alkoxy; Y is oxy, thio, a 1-4 membered alkylene, a 2-4 membered alkylene ether, 2-4 membered alkylene thioether or an oxyethyleneoxy group, optionally substituted by 1 to 4 groups independently selected from hydroxy, halogen, C₁₋₆alkyl, C₁₋₆alkoxy and C₁₋₆ haloalkyl; Z is CH or N; and p represents an integer from 0-5 when Z is CH or 0-4 when Z is N; when p represents 2 or more, two of R²s may be taken together with the carbon atoms to which they are attached to form a 5-8

membered cycloalkyl ring to processes for the preparation of, intermediates used in the preparation of, compositions containing such compounds and the uses of such compounds as antagonists of the NMDA NR2B receptor.



CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (*unless otherwise indicated, for every kind of regional protection available*): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),

European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— *without international search report and to be republished upon receipt of that report*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.